

**REMARKS****The Amendments**

Claim 53 is amended to remove the optional “unsaturated” groups from the definition of R<sup>17</sup> to distinguish the cited prior art (see below). Claim 53 is further amended to add the missing  $\alpha$  and  $\beta$  designations in the last two compounds listed in the proviso ending the claim. These latter amendments correct an obvious typographical error and do not narrow the scope of the claims.

To the extent that the amendments avoid the prior art or for other reasons related to patentability, competitors are warned that the amendments are not intended to and do not limit the scope of equivalents which may be asserted on subject matter outside the literal scope of any patented claims but not anticipated or rendered obvious by the prior art or otherwise unpatentable to applicants. Applicants reserve the right to file one or more continuing and/or divisional applications directed to any subject matter disclosed in the application which has been canceled by any of the above amendments.

**The Rejection under 35 U.S.C. §102**

The rejection of claims 53, 65 and 86-88 under 35 U.S.C. §102, as being anticipated by Brattsand (Abstract of WO 97/08188) is respectfully traversed. This is the sole remaining rejection and the subject matter of claims 54-64, 66-85 and 89 has been indicated to be allowable if in independent form.

Brattsand (a copy of the full WO reference is of record, is in English and is referred to herein) discloses compounds of the general formula I for treating autoimmune disorders. The compound depicted in the Abstract print-out provided with the Office Action appears to be the compound of

Example 12, 19 or 25. The definition of the formula and of the Example compounds is not sufficiently clear in the reference to make an identification. For example, the compound depicted in the abstract provided with the Office Action does not appear to fall within any of the formulae shown in the full Brattsand reference. What is clear, however, is that the compounds of Brattsand covered by generic formula I contain, at the 17-position, an unsaturated group. These groups are called “methylene” and “ethylene” in the examples but it is clear from the formulae given in the reference read as a whole and from the compound depicted in the abstract print-out that, in this reference, methylene means  $=CH_2$  and 1',2'-ethylene means  $-CH=CH_2$ . Further, Brattsand indicates several of its compounds as “tetraenes” acknowledging the existence of this unsaturated group. It would appear from formula I that compounds wherein the Y group forms a cyclopropyl group are also contemplated by Brattsand, although no examples refer to a Y group being cyclopropyl. Another possible interpretation is that 1',2'-ethylene refers to the two other carbon atoms forming a cyclopropyl ring and, thus, Example 12 may refer to such a cyclopropyl compound. Taking the broadest possible interpretation of Brattsand's formula I, however, the  $R^{17}$  group is limited to unsaturated groups or cyclopropyl groups, both of which are optionally halogenated or alkyl substituted by the X groups.

Brattsand fails to disclose or suggest any compounds within the scope of the instant claims, as amended above. The sole independent claim 53 now literally recites the 17-position group as “a halogen atom in  $\alpha$ - or  $\beta$ -position, a straight-chain or branched-chain, saturated, optionally partially or completely fluorinated alkyl group with 1 to 10 carbon atoms in  $\alpha$ - or  $\beta$ -position or a hydrogen atom.” The unsaturated – and possibly cyclopropyl – groups disclosed by Brattsand do not fall within this definition. Clearly, the compound shown in the abstract provided with the Office Action

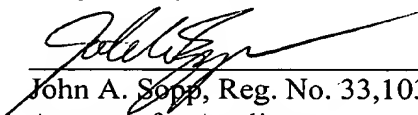
also does not fall within this definition. Accordingly, Brattsand does not anticipate the instant claims and the rejection under 35 U.S.C. §102 should be withdrawn.

Brattsand also does not support a rejection under 35 U.S.C. §103. As pointed out above, even the broadest interpretation of Brattsand's formula I does not encompass any compound of the instant claims. Further, there is no motivation to one of ordinary skill in the art to modify the Brattsand compounds to provide a compound not having an unsaturated or cyclopropyl group at the 17-position. In fact, such a modification would appear to be contrary to the explicit teachings of the reference. The distinct utilities of the claimed and Brattsand compounds further support this lack of motivation to modify. In the absence of any such motivation, Brattsand cannot render the claimed invention obvious under 35 U.S.C. §103.

It is submitted that the claims are in condition for allowance. However, the Examiner is kindly invited to contact the undersigned to discuss any unresolved matters.

The Commissioner is hereby authorized to charge any fees associated with this response or credit any overpayment to Deposit Account No. 13-3402.

Respectfully submitted,

  
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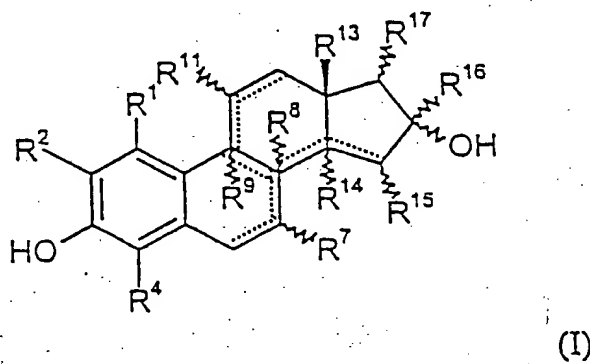
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VERSION WITH MARKINGS TO SHOW CHANGES MADE

IN THE CLAIMS:

Amend claim 53 to read as follows (a marked up version of the amended claims is in an appendix attached hereto):

53. (Amended) A 3,16-Dihydroxyestra-1,3,5(10)-triene compound of formula I:



in which radicals  $R^1$  to  $R^{17}$ , independently of one another, have the following meanings:

$R^1$  is a halogen atom, a hydroxyl group, a methyl group, a trifluoromethyl group, a methoxy group, an ethoxy group or a hydrogen atom;

$R^2$  is a halogen atom, a hydroxyl group, a straight-chain or branched-chain, saturated or unsaturated alkoxy group with 1 to 6 carbon atoms or a hydrogen atom;

$R^4$  is a halogen atom, a straight-chain or branched-chain, saturated or unsaturated alkyl group with 1 to 10 carbon atoms, a trifluoromethyl or pentafluoroethyl group, a straight-

chain or branched-chain, saturated or unsaturated alkoxy group with 1 to 6 carbon atoms or a hydrogen atom;

$R^7$  is a halogen atom in  $\alpha$ - or  $\beta$ -position, a straight-chain or branched-chain, saturated or unsaturated, optionally partially or completely fluorinated alkyl group with 1 to 10 carbon atoms in  $\alpha$ - or  $\beta$ -position, a straight-chain or branched-chain, saturated or unsaturated alkoxy group with 1 to 6 carbon atoms, an optionally substituted aryl or heteroaryl radical or a hydrogen atom;

$R^8$  is a hydrogen atom in  $\alpha$ - or  $\beta$ -position, a straight-chain or branched-chain, saturated or unsaturated, optionally partially or completely fluorinated alkyl group with 1 to 10 carbon atoms in  $\alpha$ - or  $\beta$ -position or a cyano group in  $\alpha$ - or  $\beta$ -position;

$R^9$  is a hydrogen atom in  $\alpha$ - or  $\beta$ -position, a methyl, ethyl, trifluoromethyl or pentafluoroethyl group in  $\alpha$ - or  $\beta$ -position;

$R^{11}$  is a nitrooxy group in  $\alpha$ - or  $\beta$ -position, a hydroxyl or mercapto group in  $\alpha$ - or  $\beta$ -position, a halogen atom in  $\alpha$ - or  $\beta$ -position, a chloromethyl group in  $\alpha$ - or  $\beta$ -position, a straight-chain or branched-chain, saturated or unsaturated, optionally partially or completely fluorinated alkyl group with 1 to 10 carbon atoms in  $\alpha$ - or  $\beta$ -position, a straight-chain or branched-chain, saturated or unsaturated alkoxy or alkylthio group with 1 to 6 carbon atoms, an optionally substituted aryl or heteroaryl radical or a hydrogen atom;

$R^{13}$  is a methyl, ethyl, trifluoromethyl or pentafluoroethyl group in  $\beta$ -position;

and either

$R^{14}$  is a straight-chain or branched-chain, saturated or unsaturated, optionally partially or completely fluorinated alkyl group with 1 to 10 carbon atoms in  $\alpha$ - or  $\beta$ -position or a hydrogen atom in  $\alpha$ - or  $\beta$ -position

and

$R^{15}$  is a halogen atom in  $\alpha$ - or  $\beta$ -position, a straight-chain or branched-chain, saturated or unsaturated, optionally partially or completely fluorinated alkyl group with 1 to 10 carbon atoms in  $\alpha$ - or  $\beta$ -position that can be interrupted by one or more oxygen atoms, sulfur atoms, sulfoxide or sulfone groups or imino groups =  $NR^{15'}$  wherein  $R^{15'}$  = hydrogen atom, methyl, ethyl, propyl, *i*-propyl; or a hydrogen atom

or

$R^{14}$  and  $R^{15}$  together is a  $14\alpha,15\alpha$ -methylene or  $14\beta,15\beta$ -methylene group that are optionally substituted with one or two halogen atoms;

$R^{16}$  is a straight-chain or branched-chain, saturated or unsaturated, optionally partially or completely fluorinated alkyl group with 1 to 10 carbon atoms in  $\alpha$ - or  $\beta$ -position, a trifluoromethyl or pentafluoroethyl group, a cyanomethyl group or a hydrogen atom in  $\alpha$ - or  $\beta$ -position;

$R^{17}$  is a halogen atom in  $\alpha$ - or  $\beta$ -position, a straight-chain or branched-chain, saturated or unsaturated, optionally partially or completely fluorinated alkyl group with 1 to 10 carbon atoms in  $\alpha$ - or  $\beta$ -position or a hydrogen atom,

the dotted lines ----- in rings B, C and D indicate single bonds, and

the wavy lines mean the arrangement of the respective substituent in  $\alpha$ - or  $\beta$ -position,

excluding the compounds  $\text{estra-1,3,5(10)-triene-3,16}\alpha\text{-diol}$ ,  $\text{estra-1,3,5(10)-triene-3,16}\beta\text{-diol}$ ,  $16\beta\text{-ethinylestra-1,3,5(10)-triene-3,16}\alpha\text{-diol}$  and  $16\alpha\text{-ethinylestra-1,3,5(10)-triene-3,16}\beta\text{-diol}$ .